

Application No.: 10/014,950

Amendment and Response dated December 24, 2003

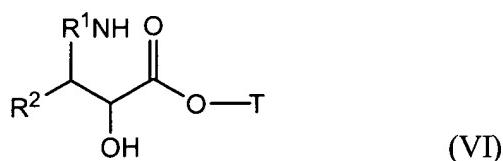
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Amendments to the Claims:

1. (Original) A method for the preparation of a compound of the following formula VI or salt thereof:



where

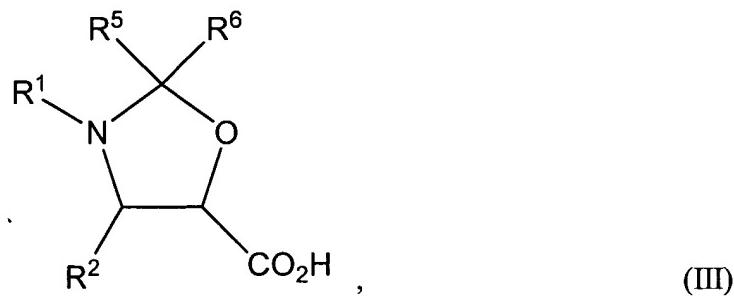
R¹ is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl;

R² is aryl, heterocyclo or alkyl; and

T is a taxane moiety directly bonded at C-13 of said moiety;

comprising the steps of:

- (a) contacting a compound of the following formula III or salt thereof:



where

R¹ and R² are as defined above; and

R⁵ and R⁶ are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group;

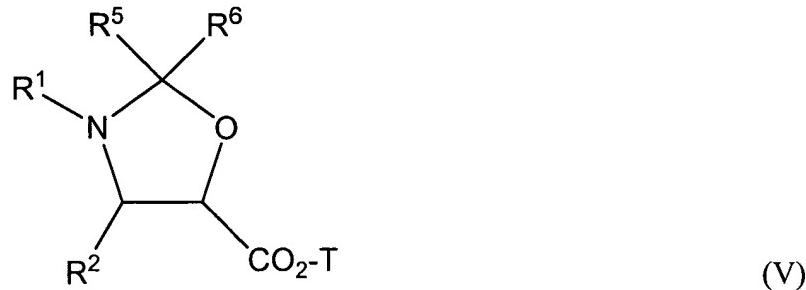
with a compound of the following formula IV or salt thereof:



where T is as defined above, in the presence of a coupling agent, to form a compound of

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the following formula V or salt thereof:



where R¹, R², R⁵, R⁶ and T are as defined above; and

(b) contacting said compound of the formula V or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the formula VI or salt thereof.

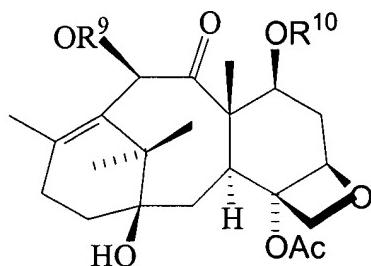
2. (Original) The method of claim 1, wherein

R¹ is arylcarbonyl or alkyloxycarbonyl;

R² is phenyl, thienyl or furyl;

R⁵ and R⁶ are each independently unsubstituted lower alkyl; and

T is the moiety:



where R⁹ is hydrogen, alkylcarbonyl, or a hydroxyl protecting group; and

R¹⁰ is hydrogen or a hydroxyl protecting group.

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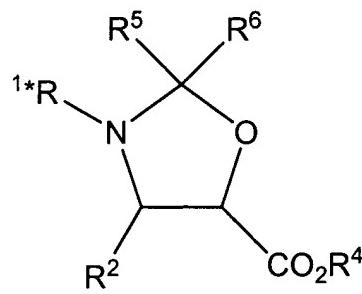
3. (Original) The method of claim 1, wherein said coupling agent comprises a carbodiimide, employed together with 1-hydroxybenzotriazole or N-hydroxysuccinimide; or a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride, wherein the aforementioned compounds are employed together with an amine.

4. (Original) The method of claim 1, wherein said ring-opening agent is a Lewis acid.

5. (Original) The method of claim 4, wherein said Lewis acid is $\text{Pd}(\text{CH}_3\text{CN})_2\text{Cl}_2$.

6. (Original) The method of claim 1, wherein said compound of the formula VI is paclitaxel.

7. (Original) The method of claim 1, wherein R^1 is the group R^{1*} in said compound of the formula III or salt thereof, and wherein said compound of the formula III or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula I or salt thereof:



where R^2 , R^5 and R^6 are as defined above;

R^4 is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and

R^{1*} is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl, with the proviso that R^{1*} is not tert-butoxycarbonyl when R^2 is aryl; with a hydrolyzing agent.

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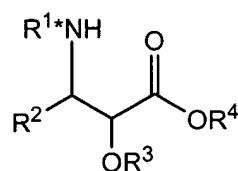
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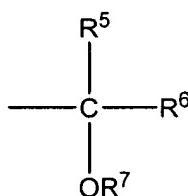
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8. (Original) The method of claim 7, wherein said compound of the formula I or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:

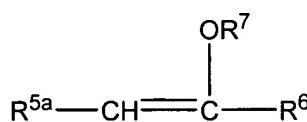


(i)

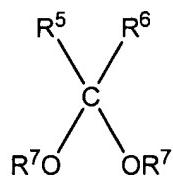
where R^{1*} , R^2 and R^4 are as defined above; and R^3 is hydrogen or the group R^{3P} , where R^{3P} is the group:



where R^5 and R^6 are as defined above, and R^7 is alkyl or aryl;
with an acid catalyst, and additionally, where R^3 is hydrogen, with a compound of the formula ii or iii:



(ii)



(iii)

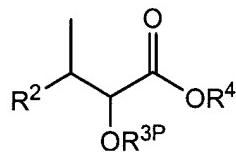
where R^5 , R^6 and R^7 are as defined above, and where R^{5a} (i) is a group such that R^{5a} --

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CH_2-- is R^5 or (ii) forms, together with R^6 and the atoms to which $\text{R}^{5\text{a}}$ and R^6 are bonded, a cycloalkenyl or heterocyclo group containing at least one carbon to carbon double bond.

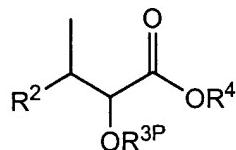
9-12. (Cancelled)

13. (withdrawn) A compound of the following formula iv or salt thereof:



(iv)

where R^{1*} is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl, with the proviso that R^{1*} is not tert-butoxycarbonyl when R^2 is aryl; R^2 is aryl, heterocyclo or alkyl; R^4 is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and $\text{R}^{3\text{P}}$ is the group:

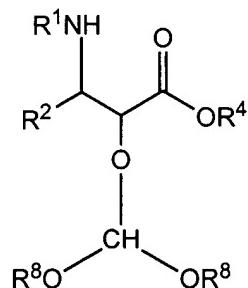


where R^5 and R^6 are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group; and R^7 is alkyl or aryl.

14-25. (Cancelled)

26. (withdrawn) A compound of the following formula v or salt thereof:

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where R^1 is hydrogen, arylcarbonyl, alkoxy carbonyl or alkylcarbonyl; R^2 is aryl, heterocyclo or alkyl; R^4 is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and R^8 is alkyl or aryl.